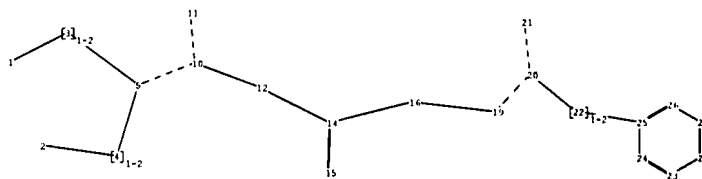
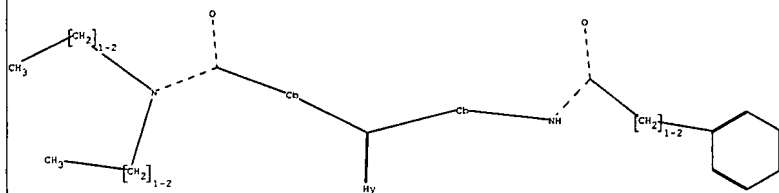


EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1129	((546/234) or (546/212) or (546/214)).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/05/11 19:36
L2	59	1 and benzamide and phenyl and piperidin	US-PGPUB; USPAT	OR	OFF	2007/05/11 19:37
L3	156	(brown adj william.inv.)	US-PGPUB	OR	OFF	2007/05/11 19:38
L4	19	(griffin adj andrew.inv.)	US-PGPUB	OR	OFF	2007/05/11 19:41
L5	156	(brown adj william.inv.)	US-PGPUB	OR	OFF	2007/05/11 19:41



chain nodes :

1 2 3 4 5 10 11 12 14 15 16 19 20 21 22

ring nodes :

23 24 25 26 27 28

chain bonds :

1-3 2-4 3-5 4-5 5-10 10-11 10-12 12-14 14-15 14-16 16-19 19-20
20-21 20-22 22-25

ring bonds :

23-24 23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

5-10 10-11 14-15 19-20 20-21

exact bonds :

1-3 2-4 3-5 4-5 10-12 12-14 14-16 16-19 20-22 22-25

normalized bonds :

23-24 23-28 24-25 25-26 26-27 27-28

isolated ring systems :

containing 15 : 23 :

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 10:CLASS 11:CLASS 12:Atom
14:CLASS 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:Atom
24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

Generic attributes :

12:

Saturation : Unsaturated
Type of Ring System : Monocyclic

15:

Saturation : Saturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System : Monocyclic

16:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

Element Count :

Node 12: Limited
C,C6

Node 15: Limited
C,C5
N,N1

Node 16: Limited
C,C6

10533838

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal612bxr

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3 JAN 16 CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/CAPLUS updated with revised CAS roles
NEWS 7 JAN 22 CA/CAPLUS enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAPLUS enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 28 MAY 01 New CAS web site launched
NEWS 29 MAY 08 CA/CAPLUS Indian patent publication number format defined

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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Updated Search

10533838

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FILE 'HOME' ENTERED AT 16:32:10 ON 11 MAY 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 16:32:20 ON 11 MAY 2007

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DICTIONARY FILE UPDATES: 10 MAY 2007 HIGHEST RN 934586-26-2

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\arttrtl.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:38:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 43154 TO ITERATE

4.6% PROCESSED

2000 ITERATIONS

1 ANSWERS

Updated Search

10533838

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 850675 TO 875485
PROJECTED ANSWERS: 153 TO 709

L2 1 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 171.65 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 16:38:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 863369 TO ITERATE

96.8% PROCESSED 835711 ITERATIONS 10 ANSWERS

100.0% PROCESSED 863369 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.28

L3 10 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	176.60	176.81

FILE 'HCAPLUS' ENTERED AT 16:38:59 ON 11 MAY 2007
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FILE COVERS 1907 - 11 May 2007 VOL ISS ISS
FILE LAST UPDATED: 10 May 2007 (20070510/ED)
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FILE COVERS 1907 - 11 May 2007 VOL 146 ISS 21
FILE LAST UPDATED: 1 May 2007 (20070501/ED)

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This file contains CAS Registry Numbers for easy and accurate

=> s l3

L4 2 L3

=> d l4, ibib abs hitstr, 1-2

L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1016017 HCAPLUS
DOCUMENT NUMBER: 142:6430

Updated Search

10533838

TITLE: Preparation of diarylmethylidene piperidine derivatives as opioid δ receptor ligands for treating pain, anxiety and functional gastrointestinal disorders

INVENTOR(S): Brown, William L.; Griffin, Andrew; Jin, Shujuan

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2

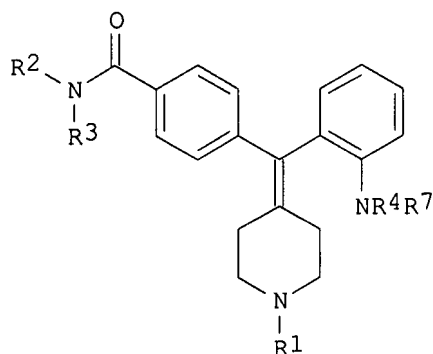
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2004101522	A1	20041125	WO 2004-GB2074	20040513
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004238618	A1	20041125	AU 2004-238618	20040513
CA 2525860	A1	20041125	CA 2004-2525860	20040513
EP 1641757	A1	20060405	EP 2004-732665	20040513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010347	A	20060530	BR 2004-10347	20040513
CN 1823040	A	20060823	CN 2004-80020330	20040513
JP 2007503457	T	20070222	JP 2006-530500	20040513
US 2007099957	A1	20070503	US 2005-555980	20051108
NO 2005005998	A	20060213	NO 2005-5998	20051216
PRIORITY APPLN. INFO.:			SE 2003-1444	A 20030516
			SE 2004-24	A 20040109
			WO 2004-GB2074	W 20040513
OTHER SOURCE(S):		MARPAT 142:6430		
GI				



10533838

AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R4 = H, (un)substituted alkyl, cycloalkyl; R7 = H, OH, alkyl, etc.] which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; R4 = C(=O)Ph; R7 = H], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human δ receptors. Generally, for most of the compds. I the IC50 values are in the range of 0.48 nM to 17.9 nM. The pharmaceutical composition comprising the compound I is disclosed.

IT 798549-18-5P 798549-19-6P 798549-23-2P

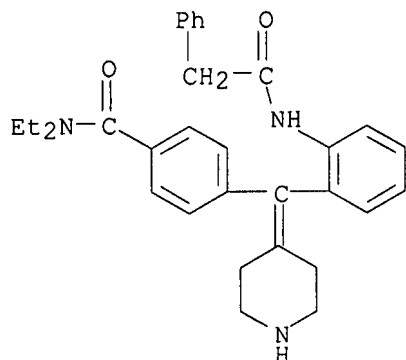
798549-24-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid δ receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798549-18-5 HCAPLUS

CN Benzeneacetamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyliidenemethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 798549-19-6 HCAPLUS

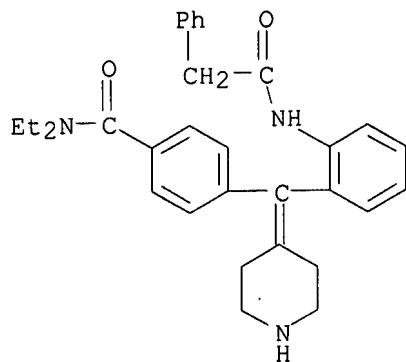
CN Benzeneacetamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyliidenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

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CRN 798549-18-5

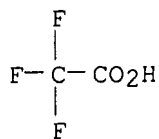
CMF C31 H35 N3 O2

10533838

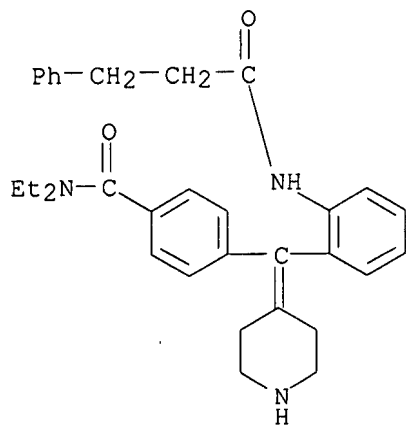


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 798549-23-2 HCAPLUS
CN Benzenepropanamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 798549-24-3 HCAPLUS
CN Benzenepropanamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

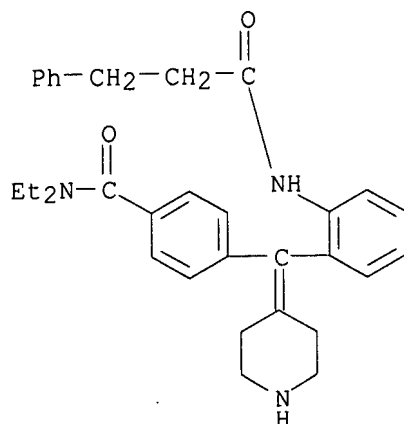
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CRN 798549-23-2

Updated Search

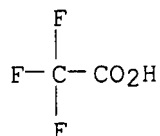
10533838

CMF C32 H37 N3 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:412920 HCAPLUS

DOCUMENT NUMBER: 140:423590

TITLE: Preparation of 4-(phenylpiperidin-4-ylidenemethyl)benzamides for treatment of pain, anxiety, or gastrointestinal disorders

INVENTOR(S): Brown, William; Griffin, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004041784	A1	20040521	WO 2003-SE1705	20031105
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,			

Updated Search

10533838

OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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 EP 1567496 A1 20050831 EP 2003-759165 20031105
 EP 1567496 B1 20070411
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 JP 2006514617 T 20060511 JP 2004-549774 20031105
 US 2006014789 A1 20060119 US 2005-533838 20050504
 PRIORITY APPLN. INFO.: SE 2002-3301 A 20021107
 WO 2003-SE1705 W 20031105
 OTHER SOURCE(S): MARPAT 140:423590
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = (un)substituted alkyl, cycloalkyl(alkyl),
 (hetero)aryl, R8CO, R8SO2, R8SO, R8NHCO, R8CS, or R8NHCS; ; R2 = H or
 (un)substituted alkyl; R3 = H or (un)substituted alkoxy-carbonyl, alkyl, or
 cycloalkyl(alkyl); R8 = (un)substituted alkyl, (hetero)aryl(alkyl), or
 cycloalkyl(alkyl); or pharmaceutically acceptable salts thereof] were
 prepared as opioid δ receptor ligands. For example, reaction of
 4-(bromomethyl)benzoic acid Me ester with P(OMe)₃, followed by addition of
 1-(tert-butoxycarbonyl)-4-piperidone in the presence of LDA in THF, gave
 4-(4-methoxycarbonylbenzylidene)piperidine-1-carboxylic acid tert-Bu ester
 (35%). Addition of Br₂ (78%) and reaction with NaOH in MeOH provided
 4-[bromo(4-carboxyphenyl)methylene]piperidine-1-carboxylic acid tert-Bu
 ester (87%). Conversion to the benzoyl chloride with iso-Bu chloroformate
 and amidation (73%) with Et₂NH in the presence of TEA in CH₂Cl₂, followed
 by coupling with 3-aminophenylboronic acid using Pd(PPh₃)₄ and Na₂CO₃ in
 toluene/EtOH/H₂O afforded N,N-diethyl-4-[(3-aminophenyl)(piperidin-4-
 ylidene)methyl]benzamide (97%). Alkylation of the amine with benzaldehyde
 and NaBH(OAc)₃ in 1,2-dichloroethane gave II. In binding assays using
 human 293S cells expressing cloned human opioid receptors and neomycin
 resistance, most compds. of the invention exhibited activity toward the
 δ receptor with IC₅₀ values in the range of 0.14 nM - 31.2 nM.
 Exemplified compds. also showed some activity toward the κ and μ
 receptors with IC₅₀ values in the ranges of 36 nM - 9680 nM and 3 nM -
 5975 nM, resp. Thus, I and their pharmaceutical compns. are useful in
 therapy, in particular for the treatment of gastrointestinal disorders,
 anxiety, or pain (no data).
 IT 692245-61-7P 692245-73-1P 692245-77-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (δ receptor agonist; preparation of (phenylpiperidinylidenemethyl)benz
 amides as δ receptor agonists for treatment of pain, anxiety, or
 gastrointestinal disorders)
 RN 692245-61-7 HCAPLUS
 CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-
 piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX
 NAME)

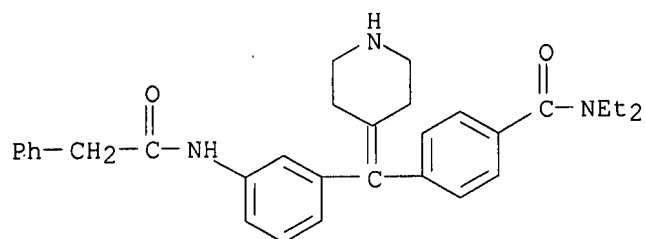
Updated Search

10533838

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CRN 692245-59-3

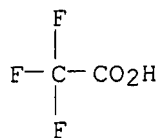
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



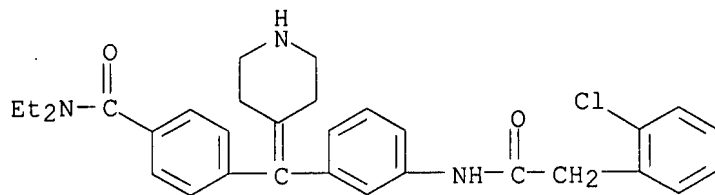
RN 692245-73-1 HCAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-71-9

CMF C31 H34 Cl N3 O2



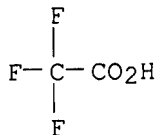
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CMF C2 H F3 O2

Updated Search

10533838

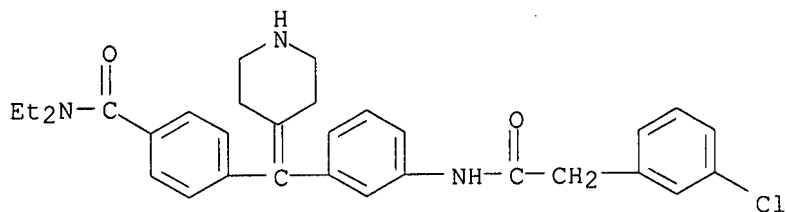


RN 692245-77-5 HCAPLUS
CN Benzeneacetamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

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CRN 692245-75-3

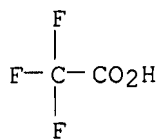
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CM 2

CRN 76-05-1

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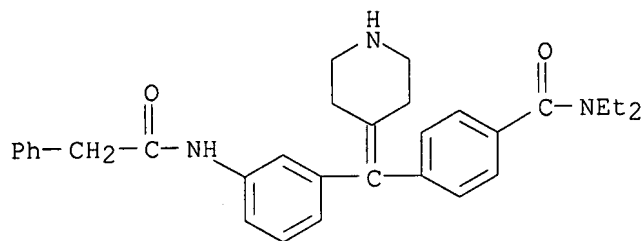
IT 692245-59-3P, N,N-Diethyl-4-[[3-[(phenylacetyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide 692245-71-9P, 4-[[3-[[3-[(2-chlorophenyl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-75-3P, 4-[[3-[[3-(3-chlorophenyl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(δ receptor agonist; preparation of (phenylpiperidinyldenemethyl)benz amides as δ receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)

RN 692245-59-3 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]- (9CI) (CA INDEX NAME)

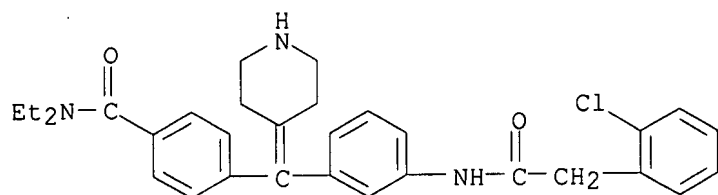
Updated Search

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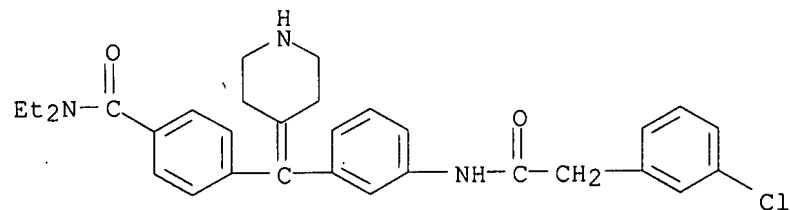
RN 692245-71-9 HCAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldene]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 692245-75-3 HCAPLUS

CN Benzeneacetamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldene]methyl]phenyl]- (9CI) (CA INDEX NAME)



=> file caold

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE

ENTRY

13.14

SINCE FILE

ENTRY

-1.56

TOTAL

SESSION

189.95

TOTAL

SESSION

-1.56

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10533838

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L1 STRUCTURE UPLOADED
L2 1 S L1
L3 10 S L1 FULL

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L4 2 S L3

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=> s 13

L5 0 L3